10625116.trn 08/30/2004

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS 16	AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 17	AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:53:53 ON 30 AUG 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:54:06 ON 30 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1
DICTIONARY FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1

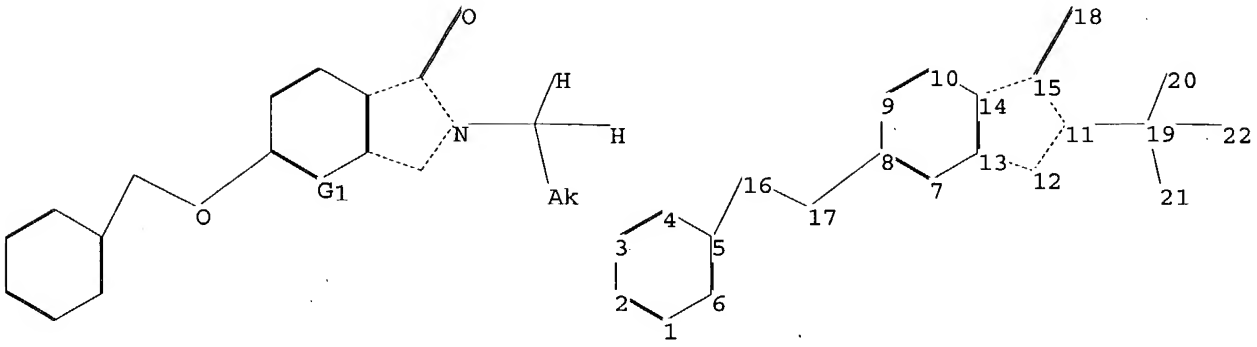
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10625116.str



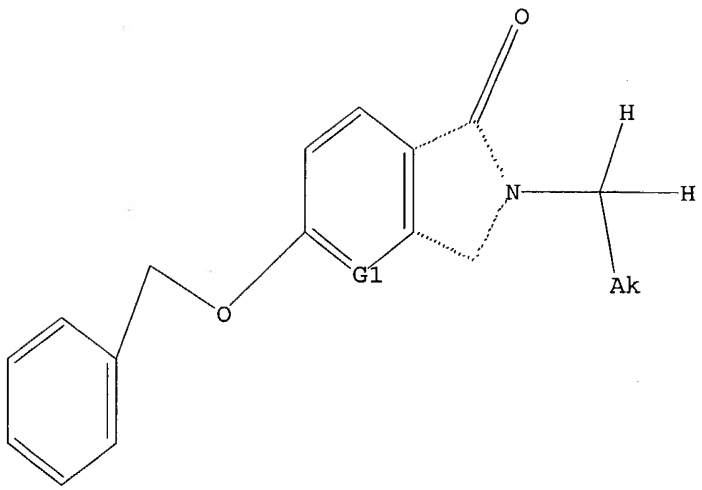
chain nodes :
16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
5-16 8-17 11-19 15-18 16-17 19-20 19-21 19-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13 8-9 9-10 10-14 11-12 11-15 12-13
13-14 14-15
exact/norm bonds :
5-16 7-8 7-13 8-9 8-17 9-10 10-14 11-12 11-15 11-19 12-13 13-14 14-15
15-18 16-17 19-20 19-21 19-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    446 TO ITERATE

100.0% PROCESSED     446 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          7653 TO    10187
PROJECTED ANSWERS:                1 TO       80

L2             1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:54:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   9138 TO ITERATE

100.0% PROCESSED    9138 ITERATIONS                         54 ANSWERS
SEARCH TIME: 00.00.01

L3            54 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     155.63

FILE 'CAPLUS' ENTERED AT 08:54:38 ON 30 AUG 2004
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```

FILE COVERS 1907 - 30 Aug 2004 VOL 141 ISS 10
FILE LAST UPDATED: 29 Aug 2004 (20040829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l3
L4            11 L3

=> s l4 and py<=2002
      22508219 PY<=2002
L5             9 L4 AND PY<=2002

=> s l4 and p/dt
       4421337 P/DT
L6            10 L4 AND P/DT

=> s l4 and thu
            141 THU
        2177184 THUS
        2177310 THU
                (THU OR THUS)
L7             7 L4 AND THU

=> d l4 ibib abs hitstr tot

L4   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:143104  CAPLUS
DOCUMENT NUMBER:          140:181326
TITLE:                    Preparation of 2,3-dihydro-isoindol-1-ones as
                          monoamine oxidase MAO-B inhibitors.
INVENTOR(S):              Jolidon, Synese; Rodriguez-Sarmiento, Rosa Maria;
                          Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S):       F. Hoffmann-La Roche Ag, Switz.
SOURCE:                   PCT Int. Appl., 36 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     --------------       ----   --------    --------------------     --------
     WO 2004014856         A1    20040219    WO 2003-EP8456           20030731
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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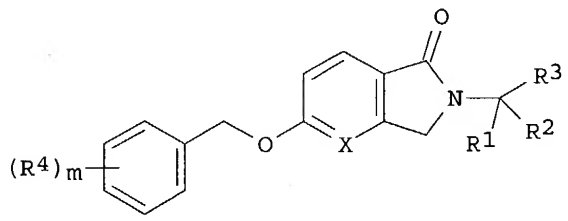
UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004082603 A1 20040429 US 2003-625116 20030722
PRIORITY APPLN. INFO.: EP 2002-17676 A 20020807
OTHER SOURCE(S): MARPAT 140:181326
GI



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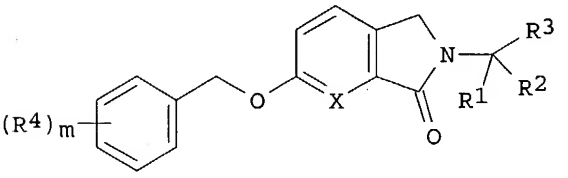
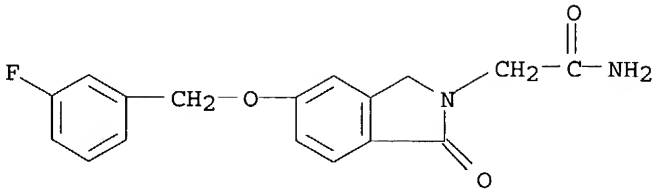


II

AB Title compds. [I, II; X = N, CH; R1 = (CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R7; (CH2)nCN, (CH2)n-isoindole-1,3-dionyl, (CH2)pOR8; R2 = H, alkyl, OH; R3 = H, alkyl; R4 = halo, haloalkyl, alkoxy, haloalkoxy; R5, R6 = H, alkyl; R7 = alkyl; R8 = H, alkyl; m = 1-3; n = 0-2; p = 1, 2], were prepared Thus, 5-(3-fluorobenzyloxy)-2,3-dihydroisoindol-1-one (preparation given) and NaH were stirred in THF at room temperature for 45 min; 2-bromoacetamide was added and the resulting mixture heated at 50° for 16 h to give 67% 2-[5-(3-fluorobenzyloxy)-1-oxo-1,3-dihydroisoindol-2-yl]acetamide. Title compds. inhibited MAO-B in the range of ≤10 μM.

IT **659737-30-1P 659737-34-5P 659737-35-6P 659737-36-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)

RN 659737-30-1 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



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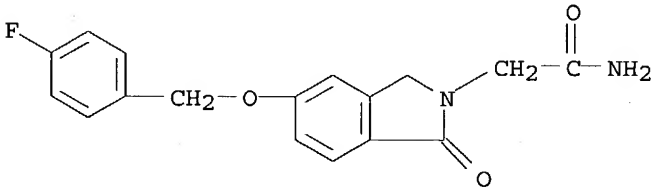

RN 659737-35-6 CAPLUS
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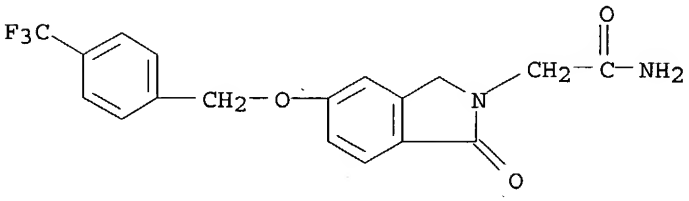

RN 659737-36-7 CAPLUS
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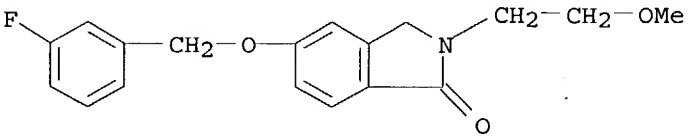
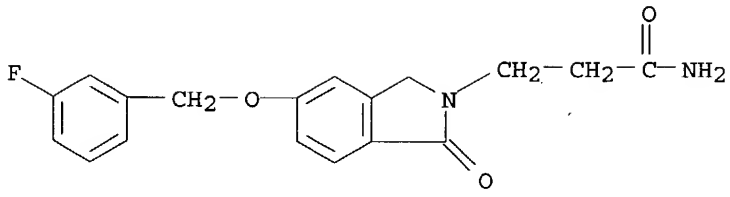


IT **659737-60-7P 659737-61-8P 659737-62-9P**
659737-63-0P 659737-64-1P 659737-66-3P
659737-67-4P 659737-68-5P 659737-69-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)
RN 659737-60-7 CAPLUS
CN 2H-Isoindole-2-propanamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 659737-61-8 CAPLUS
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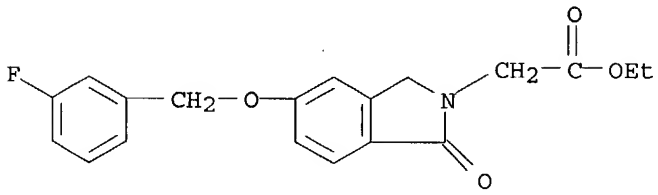
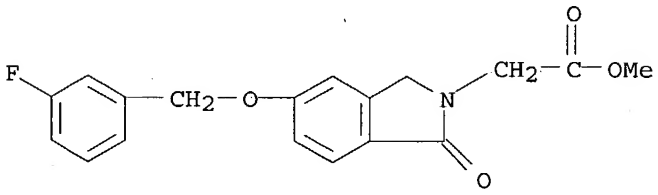


RN 659737-62-9 CAPLUS
CN 2H-Isoindole-2-acetic acid, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 659737-63-0 CAPLUS
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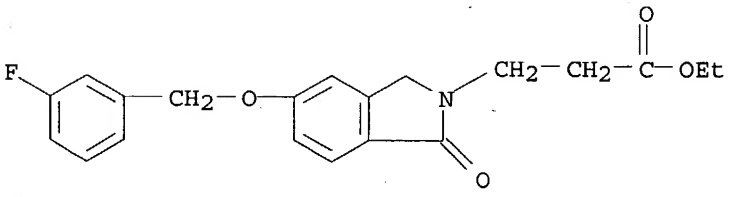

RN 659737-64-1 CAPLUS
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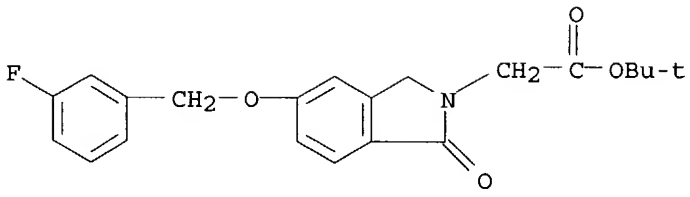
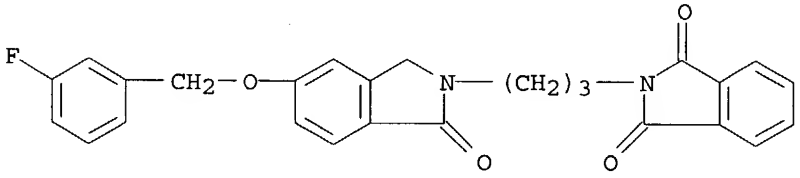


RN 659737-66-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo-2H-isoindol-2-yl]propyl]- (9CI) (CA INDEX NAME)



RN 659737-67-4 CAPLUS
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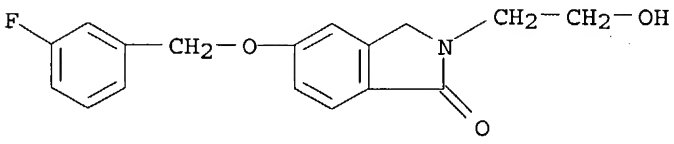

RN 659737-68-5 CAPLUS
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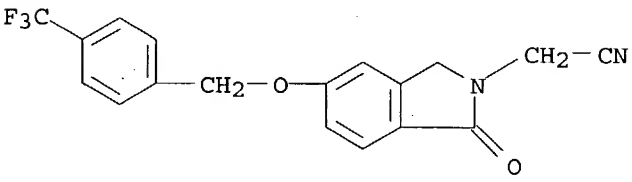

RN 659737-69-6 CAPLUS
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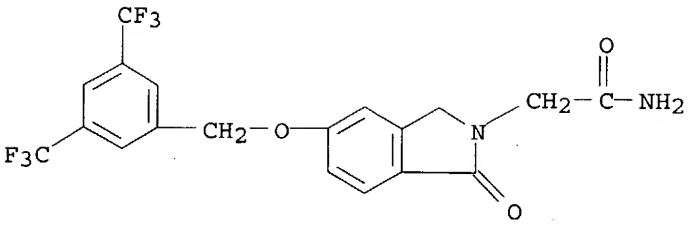

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777757 CAPLUS
DOCUMENT NUMBER: 139:292146
TITLE: Preparation of (benzyloxy)phthalimides as inhibitors of monoamine oxidase B
INVENTOR(S): Cesura, Andrea; Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080573	A1	20031002	WO 2003-EP2931	20030320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195208	A1	20031016	US 2003-387950	20030313
US 6660736	B2	20031209		

PRIORITY APPLN. INFO.: EP 2002-7222 A 20020327
OTHER SOURCE(S): MARPAT 139:292146
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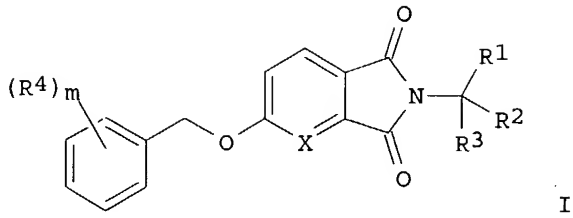

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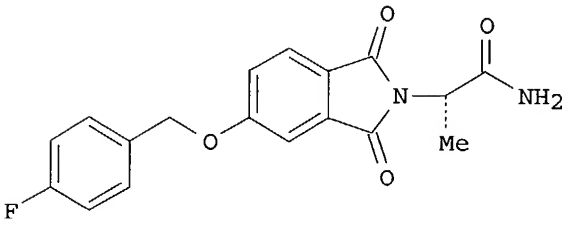


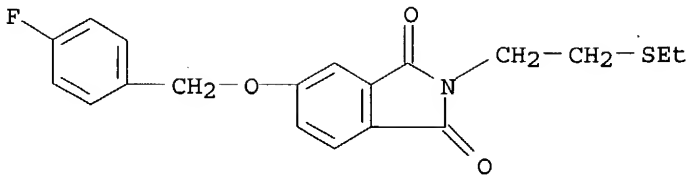
II

AB Title compds. I [wherein X = N or CH; R1 = CONR5R6, CHR7(CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R8, (CH2)nCN, CHR7(CH2)nCF3, (CH2)nNHCOR9, (CH2)nNHCO2R9, (CH2)pOR8, (CH2)pSR8, (CH2)pSOR9, (CH2)nCSNR5R6, or (un)substituted (CH2)n-piperidinyl, (CH2)n-morpholinyl, (CH2)n-tetrahydrofuranyl, (CH2)n-thiophenyl, (CH2)n-isoxazolyl, (CH2)n-Ph; R2 = H, alkyl, (CH2)pOR10, (CH2)pSR10, or CH2Ph; R3, R5, R6, R8, and R10 = independently H or alkyl; R4 = H, haloalkyl, CN, or (halo)alkoxy; R7 = H, OH, or alkoxy; R9 = alkyl; m = 1-3; n = 0-2; p = 1-2; and pharmaceutically acceptable salts thereof] were prepared as highly selective monoamine oxidase B (MAO-B) inhibitors. For example, reaction of 4-hydroxyphthalic acid with 4-fluorobenzyl bromide in the presence of K2CO3 in acetone and H2O gave 4-(4-fluorobenzyloxy)phthalic acid bis(4-fluorobenzyl)ester (80%). Saponification with LiOH•H2O in THF afforded the acid (56%). Cyclocondensation with alaninamide•HCl using carbonyldiimidazole in 1-methyl-2-pyrrolidinone provided the title isoindole II (49%). The latter preferentially inhibited the enzymic activity of human MAO-B over human MAO-A with IC50 values of 0.008 μM and 0.776 μM, resp. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by MAO-B, such as Alzheimer's disease and senile dementia (no data).

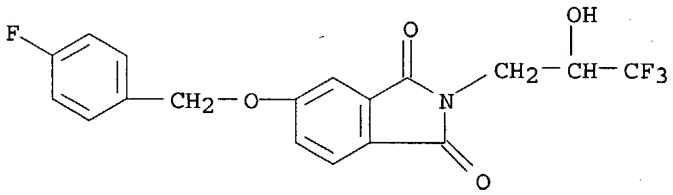
IT **607734-89-4P**, 2-[2-(Ethylsulfanyl)ethyl]-5-(4-fluorobenzyloxy)isoindole-1,3-dione **607735-36-4P**, 5-(4-Fluorobenzyloxy)-2-(3,3,3-trifluoro-2-hydroxypropyl)isoindole-1,3-dione **607735-42-2P**, 5-(3-Fluorobenzyloxy)-2-(3,3,3-trifluoro-2-hydroxypropyl)isoindole-1,3-dione
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(MAO-B inhibitor; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 607734-89-4 CAPLUS

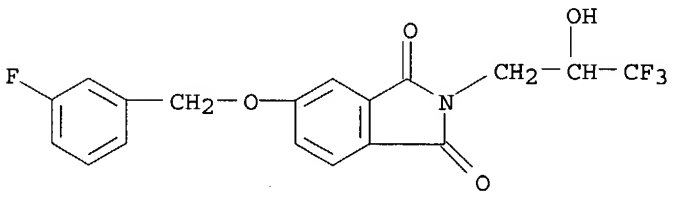
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(ethylthio)ethyl]-5-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 607735-36-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-(3,3,3-trifluoro-2-hydroxypropyl)- (9CI) (CA INDEX NAME)



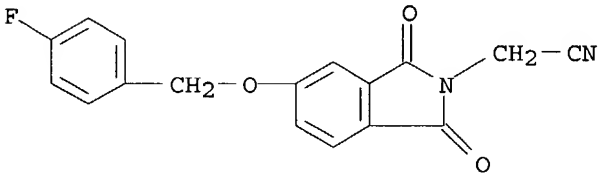
RN 607735-42-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(3-fluorophenyl)methoxy]-2-(3,3,3-trifluoro-2-hydroxypropyl)- (9CI) (CA INDEX NAME)



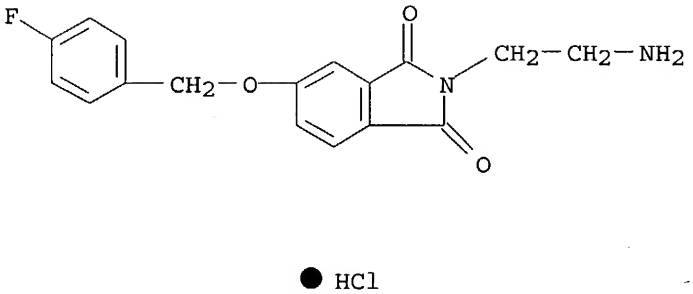
IT **607734-85-0P**, [5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetonitrile **607734-86-1P**, 2-(2-Aminoethyl)-5-(4-fluorobenzyloxy)isoindole-1,3-dione hydrochloride **607734-87-2P**, 5-(4-Fluorobenzyloxy)-2-(2-hydroxyethyl)isoindole-1,3-dione **607734-90-7P**, 4-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]-3-hydroxybutyramide **607734-97-4P**, 2-[2-(Ethanesulfinyl)ethyl]-5-(4-fluorobenzyloxy)isoindole-1,3-dione **607734-98-5P**, 5-(4-Fluorobenzyloxy)-2-(3,3,3-trifluoro-2-methoxypropyl)isoindole-1,3-dione **607735-00-2P**, 2-(2-Aminoethyl)-5-(3-fluorobenzyloxy)isoindole-1,3-dione hydrochloride **607735-02-4P**, 2-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide **607735-09-1P**, [5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetic acid ethyl ester **607735-10-4P**, N-[2-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]ethyl]acetamide **607735-12-6P**, 5-(4-Fluorobenzyloxy)-2-[2-(piperidin-1-yl)ethyl]isoindole-1,3-dione **607735-13-7P**, 5-(4-Fluorobenzyloxy)-2-[2-(morpholin-4-yl)ethyl]isoindole-1,3-dione **607735-14-8P**, 5-(4-Fluorobenzyloxy)-2-(2-methoxyethyl)isoindole-1,3-dione **607735-20-6P**, 4-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]butyramide **607735-21-7P**, 5-(3-Fluorobenzyloxy)-2-(2-methoxyethyl)isoindole-1,3-dione **607735-33-1P**, 5-(4-Fluorobenzyloxy)-2-[3-(morpholin-4-yl)propyl]isoindole-1,3-dione **607735-38-6P**, 2-[5-(3-

Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide **607735-40-0P**, 5-(3-Fluorobenzyloxy)-2-(2-hydroxyethyl)isoindole-1,3-dione **607735-41-1P**, 2-[2-(Ethylsulfanyl)ethyl]-5-(3-fluorobenzyloxy)isoindole-1,3-dione **607735-43-3P**, 5-(3-Fluorobenzyloxy)-2-(3,3,3-trifluoro-2-methoxypropyl)isoindole-1,3-dione **607735-45-5P**, [5-(3-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetonitrile **607735-49-9P**, 2-(2-Aminoethyl)-5-(4-fluorobenzyloxy)isoindole-1,3-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MAO-B inhibitor; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 607734-85-0 CAPLUS
CN 2H-Isoindole-2-acetonitrile, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

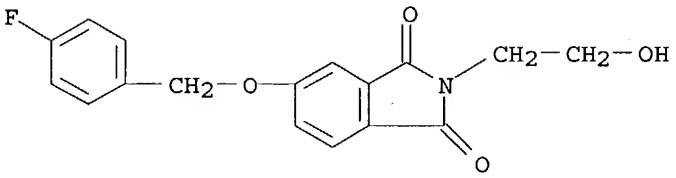


RN 607734-86-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(2-aminoethyl)-5-[(4-fluorophenyl)methoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



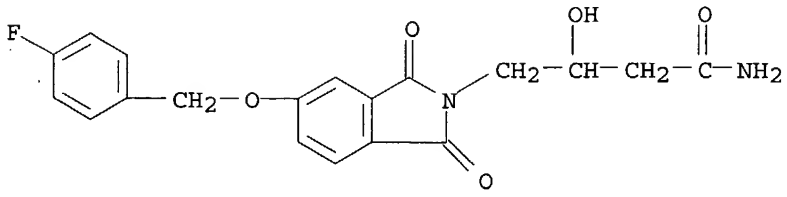
● HCl

RN 607734-87-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

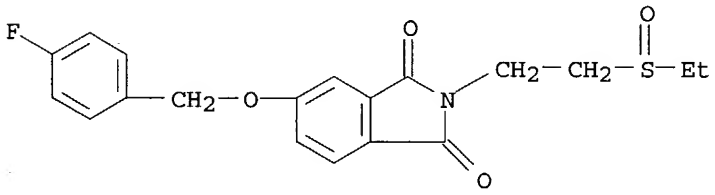


RN 607734-90-7 CAPLUS
CN 2H-Isoindole-2-butanamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-β-

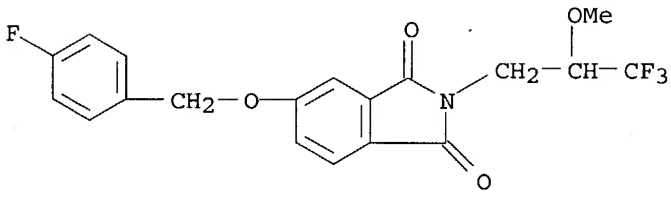
hydroxy-1,3-dioxo- (9CI) (CA INDEX NAME)



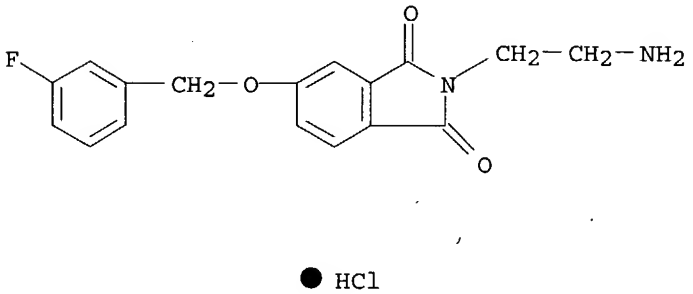
RN 607734-97-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(ethylsulfinyl)ethyl]-5-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 607734-98-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-(3,3,3-trifluoro-2-methoxypropyl)- (9CI) (CA INDEX NAME)

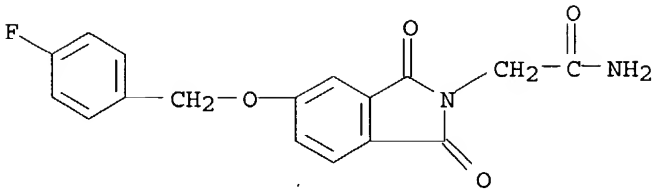


RN 607735-00-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(2-aminoethyl)-5-[(3-fluorophenyl)methoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

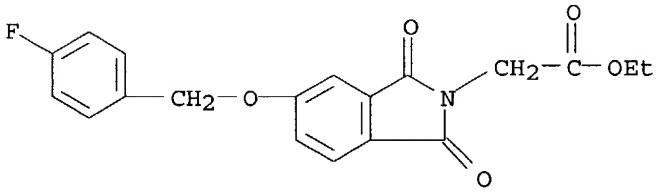


RN 607735-02-4 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-

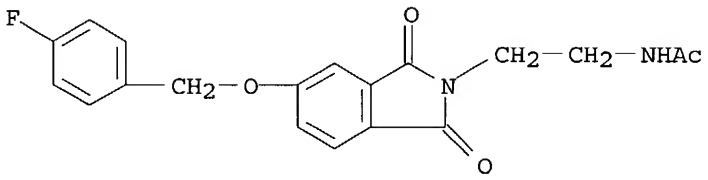
dioxo- (9CI) (CA INDEX NAME)



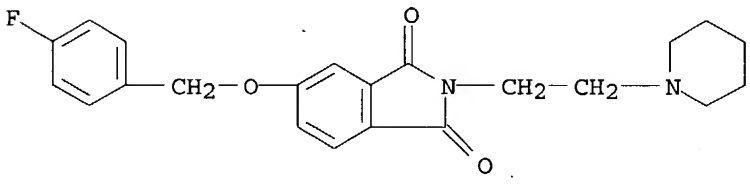
RN 607735-09-1 CAPLUS
CN 2H-Isoindole-2-acetic acid, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



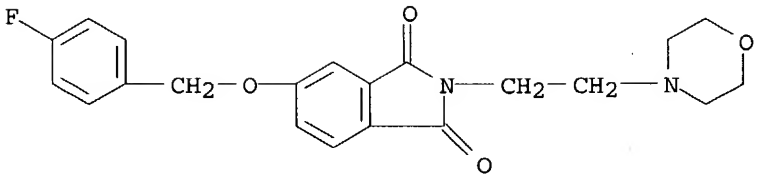
RN 607735-10-4 CAPLUS
CN Acetamide, N-[2-[5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl]ethyl]- (9CI) (CA INDEX NAME)



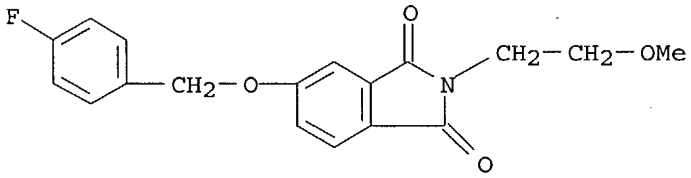
RN 607735-12-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



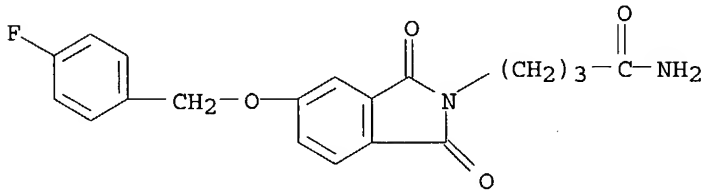
RN 607735-13-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



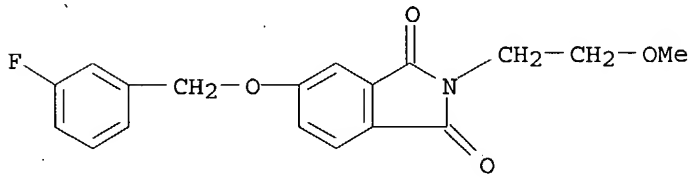
RN 607735-14-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-(2-methoxyethyl)-(9CI) (CA INDEX NAME)



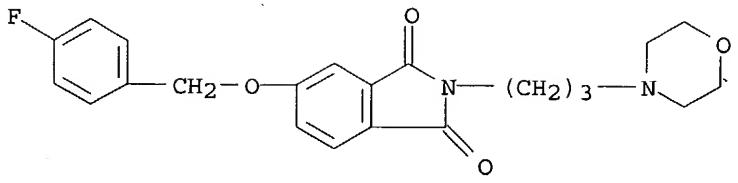
RN 607735-20-6 CAPLUS
CN 2H-Isoindole-2-butanamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



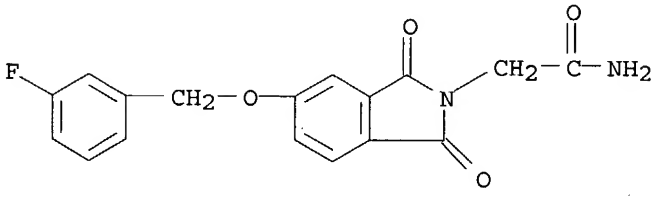
RN 607735-21-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(3-fluorophenyl)methoxy]-2-(2-methoxyethyl)-(9CI) (CA INDEX NAME)



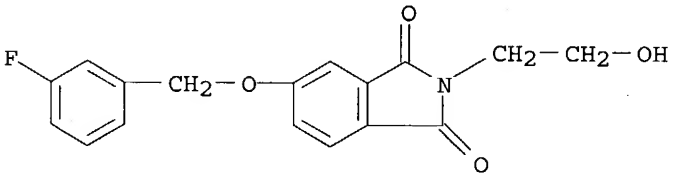
RN 607735-33-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(4-fluorophenyl)methoxy]-2-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



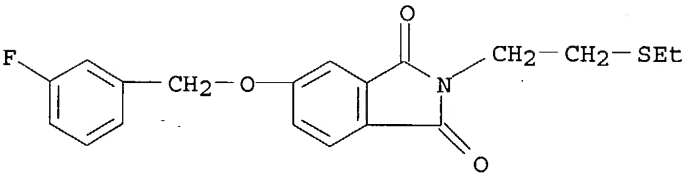
RN 607735-38-6 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



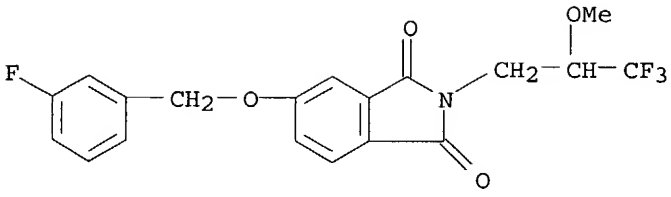
RN 607735-40-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(3-fluorophenyl)methoxy]-2-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



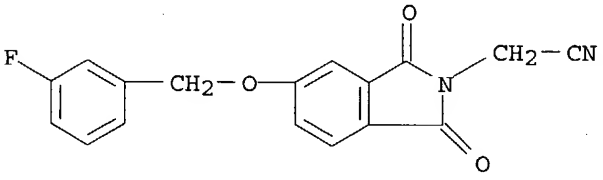
RN 607735-41-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(ethylthio)ethyl]-5-[(3-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



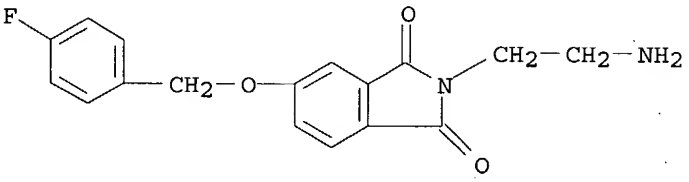
RN 607735-43-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[(3-fluorophenyl)methoxy]-2-(3,3,3-trifluoro-2-methoxypropyl)- (9CI) (CA INDEX NAME)



RN 607735-45-5 CAPLUS
CN 2H-Isoindole-2-acetonitrile, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

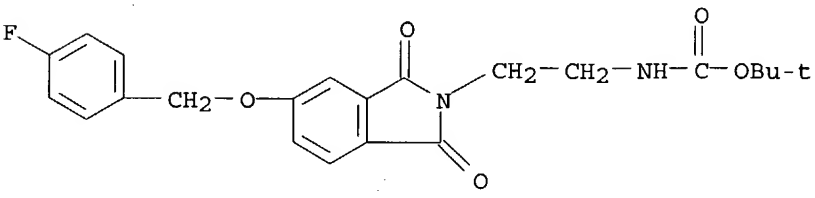


RN 607735-49-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(2-aminoethyl)-5-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



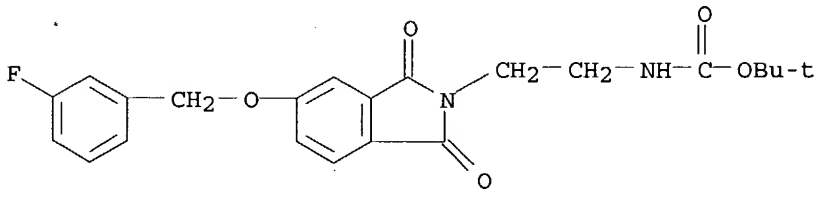
IT **607735-11-5P**, [2-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]ethyl]carbamic acid tert-butyl ester
607735-44-4P, [2-[5-(3-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]ethyl]carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 607735-11-5 CAPLUS
CN Carbamic acid, [2-[5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 607735-44-4 CAPLUS

CN Carbamic acid, [2-[5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:475626 CAPLUS
DOCUMENT NUMBER: 133:89429
TITLE: Preparation of 4-aryl-4-oxo-2-(2-phthalimidoethyl)butanoates and analogs as matrix metalloprotease inhibitors
INVENTOR(S): Fitzgerald, Mary F.; Gardiner, Philip J.; Nash, Kevin; Sturton, Graham; Benz, Gunter; Henning, Rolf; Schlemmer, Karl-Heinz; Riedl, Bernd; Haning, Helmut
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040539	A1	20000713	WO 1999-EP10110	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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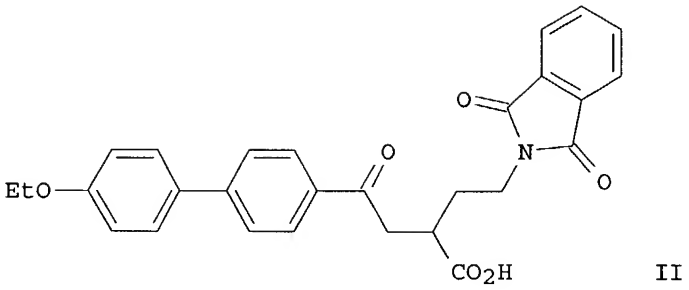
CA 2356053	AA	20000713	CA 1999-2356053	19991220
EP 1140768	A1	20011010	EP 1999-963582	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916669	A	20011016	BR 1999-16669	19991220
TR 200101970	T2	20020321	TR 2001-200101970	19991220
JP 2002534404	T2	20021015	JP 2000-592250	19991220
ZA 2001004651	A	20020607	ZA 2001-4651	20010607

PRIORITY APPLN. INFO.:	GB 1998-28845	A	19981230
	GB 1999-22709	A	19990924
	WO 1999-EP10110	W	19991220

OTHER SOURCE(S): MARPAT 133:89429
GI



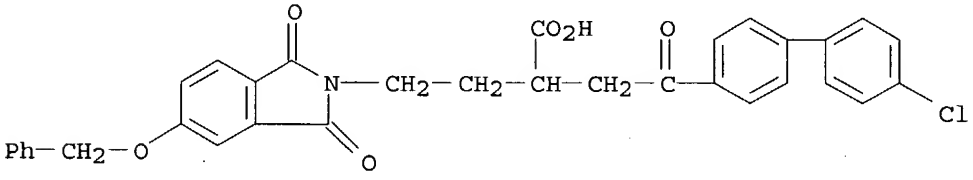
II

AB RZZ1Z2CO2H [I; R = (un)substituted Ph or -heteroaryl; Z = bond, (un)substituted 1,4-phenylene, -heteroarylene; Z1 = CO, CH(OH), C(:NOH), etc.; Z2 = substituted (CH2)2-3] were prepared Thus, di-tert-Bu 2-(2-phthalimidoethyl)malonate was condensed with 4-(EtO)C6H4C6H4(COCH2Br)-4 (preparation each given) and the saponified product mono-decarboxylated to give title compound II. Data for biol. activity of I were given.

IT **179547-44-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aryl-4-oxo-2-(2-phthalimidoethyl)butanoates and analogs as matrix metalloprotease inhibitors)

RN 179547-44-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid, α-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxoethyl]-1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:680927 CAPLUS
DOCUMENT NUMBER: 132:18481
TITLE: Fused Bicyclic Gly-Asp β-Turn Mimics with Specific Affinity for GPIIb-IIIa
AUTHOR(S): Fisher, Matthew J.; Arfstan, Ann E.; Giese, Ulrich; Gunn, Bruce P.; Harms, Cathy S.; Khau, Vien; Kinnick, Michael D.; Lindstrom, Terry D.; Martinelli, Michael J.; Mest, Hans-Juergen; Mohr, Michael; Morin, John M., Jr.; Mullaney, Jeffrey T.; Nunes, Anne; Paal, Michael; Rapp, Achim; Ruehter, Gerd; Ruterbories, Ken J.; Sall, Daniel J.; Scarborough, Robert M.; Schotten, Theo; Sommer, Birgit; Stenzel, Wolfgang; Towner, Richard D.; Um, Suzane L.; Utterback, Barbara G.; Vasileff, Robert T.; Voeelkers, Silke; Wyss, Virginia L.; Jakubowski, Joseph A.

CORPORATE SOURCE: Eli Lilly and Company, Indianapolis, IN, 46028, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(23), 4875-4889
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Disubstituted isoquinolones have affinity for GPIIb-IIIa and represent leads for further structural evaluation. Structure-activity studies centered on the bicyclic β-turn mimic contained in these mols. indicated that this moiety could accommodate a variety of modifications. Specifically, monocyclic, 6,5-bicyclic, and 6,7-bicyclic structures provide compds. with affinity for GPIIb-IIIa. Within the 6,6-series, isoquinoline, tetralin, tetralone, and benzopyran nuclei yield potent antagonists that are specific for GPIIb-IIIa. Attachment of the arginine isostere (benzamidine) to the supporting nucleus can be accomplished with an ether or amide linkage, although the latter enhances activity. Several compds. in this series provided measurable blood levels after oral dosing. Conversion of the acid moiety in these mols. to an ester generally provided compds. which gave greater systemic exposure after oral administration. Absolute bioavailabilities in the rat for the Et ester prodrug derivs. of the tetralin, tetralone, and benzopyran analogs of disubstituted isoquinolone were 28%, 23%, and 24%, resp.

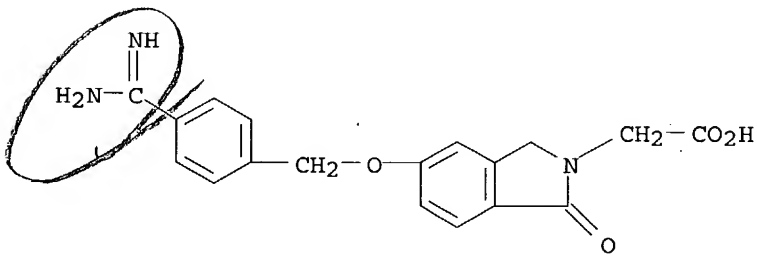
IT **252061-74-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of fused bicyclic Gly-Asp β-turn mimics with specific affinity for GPIIb-IIIa for platelet aggregation inhibitors)

RN 252061-74-8 CAPLUS

CN 2H-Isoindole-2-acetic acid, 5-[[4-(aminoiminomethyl)phenyl]methoxy]-1,3-dihydro-1-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

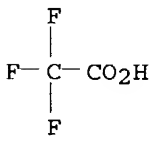
CM 1

CRN 252061-73-7
CMF C18 H17 N3 O4

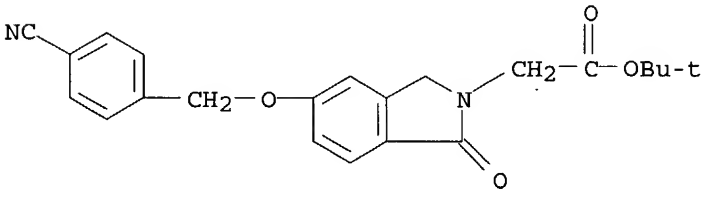


CM 2

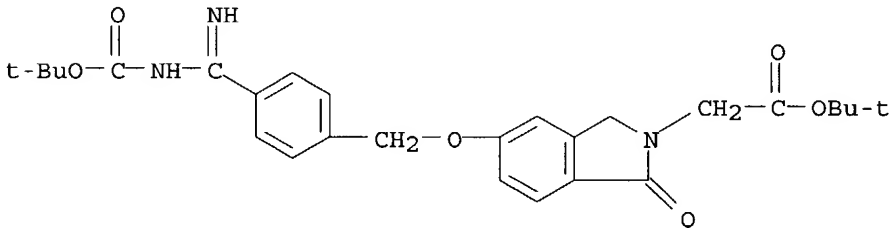
CRN 76-05-1
CMF C2 H F3 O2



IT **252061-69-1P 252061-71-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused bicyclic Gly-Asp β-turn mimics with specific affinity for GPIIb-IIIa for platelet aggregation inhibitors)
RN 252061-69-1 CAPLUS
CN 2H-Isoindole-2-acetic acid, 5-[(4-cyanophenyl)methoxy]-1,3-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 252061-71-5 CAPLUS
CN 2H-Isoindole-2-acetic acid, 5-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methoxy]-1,3-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:271613 CAPLUS
DOCUMENT NUMBER: 130:296616
TITLE: isoquinoline-2-carboxamides as prolyl-4-hydroxylase inhibitors
INVENTOR(S): Weidmann, Klaus; Baringhaus, Karl-Heinz; Tschank, Georg; Werner, Ulrich
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19746287	A1	19990422	DE 1997-19746287	19971020
EP 911340	A2	19990428	EP 1998-119591	19981016
EP 911340	A3	19990707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 332363	A	20000428	NZ 1998-332363	19981016
CA 2250664	AA	19990420	CA 1998-2250664	19981019
ZA 9809505	A	19990420	ZA 1998-9505	19981019
NO 9804877	A	19990421	NO 1998-4877	19981019
AU 9889413	A1	19990506	AU 1998-89413	19981019
AU 755714	B2	20021219		
JP 11302257	A2	19991102	JP 1998-297011	19981019
US 6093730	A	20000725	US 1998-174558	19981019
BR 9804504	A	20010522	BR 1998-4504	19981019
CA 2251647	AA	19990420	CA 1998-2251647	19981020
CN 1218802	A	19990609	CN 1998-121000	19981020
CN 1117079	B	20030806		
SG 87776	A1	20020416	SG 1998-4225	19981020
HK 1019605	A1	20031224	HK 1999-104801	19991027

PRIORITY APPLN. INFO.: DE 1997-19746287 A 19971020

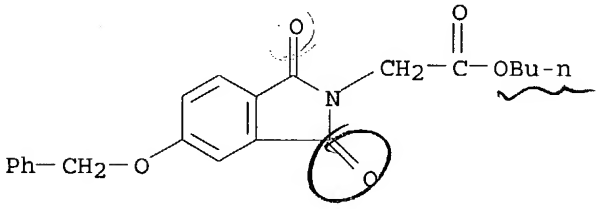
OTHER SOURCE(S): MARPAT 130:296616

AB HOZCONHCH2R [I; R = CH2OH or CO2H; Z = (un)substituted isoquinoline-4,3-diyl] were prepared Thus, 4-hydroxyphthalic acid was converted in 8 steps to 1-chloro-4-hydroxy-7-(1-methylethoxy)isoquinoline-3-carboxylic acid which was amidated by H2NCH2CO2CH2Bu to give, after saponification, I [R = CO2H, Z = 1-chloro-7-(1-methylethoxy)isoquinoline-4,3-diyl]. Data for biol. activity of I were given.

IT **223388-13-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(isoquinoline-2-carboxamides as prolyl-4-hydroxylase inhibitors)

RN 223388-13-4 CAPLUS

CN 2H-Isoindole-2-acetic acid, 1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-, butyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:534889 CAPLUS

DOCUMENT NUMBER: 129:161412

TITLE: Derivatives of substituted 4-biarylbutyric acid as matrix metalloprotease inhibitors

INVENTOR(S): Kluender, Harold Clinton Eugene; Benz, Guenter Hans Heinz Herbert; Brittelli, David Ross; Bullock, William Harrison; Combs, Kerry Jeanne; Dixon, Brian Richard; Schneider, Stephan; Wood, Jill Elizabeth; Vanzandt, Michael Christopher; Wolanin, Donald John; Wilhelm, Scott M.

PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: U.S., 109 pp., Cont.-in-part of U.S. Ser. No. 339,846.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5789434	A	19980804	US 1995-539409	19951106
CA 2201863	AA	19960523	CA 1995-2201863	19951109
CN 1163604	A	19971029	CN 1995-196209	19951109
CN 1121376	B	20030917		
HU 78083	A2	19990830	HU 1998-233	19951109
PT 790974	T	20021129	PT 1995-940572	19951109
ES 2181803	T3	20030301	ES 1995-940572	19951109
ZA 9509647	A	19970814	ZA 1995-9647	19951114
TW 413675	B	20001201	TW 1995-84112045	19951114
US 5874473	A	19990223	US 1997-864666	19970528
US 5886024	A	19990323	US 1997-865325	19970528
US 5854277	A	19981229	US 1997-865639	19970530
US 5859047	A	19990112	US 1997-866798	19970530
US 5861427	A	19990119	US 1997-866679	19970530
US 5861428	A	19990119	US 1997-866680	19970530
US 5886043	A	19990323	US 1997-866778	19970530
US 6166082	A	20001226	US 1998-57679	19980409

PRIORITY APPLN. INFO.:

US 1994-339846	A2	19941115
US 1995-462729	B1	19950605
US 1995-463490	B1	19950605
US 1995-463580	B1	19950605
US 1995-463794	B1	19950605
US 1995-464253	B1	19950605
US 1995-465626	B1	19950605
US 1995-539409	A	19951106

OTHER SOURCE(S): MARPAT 129:161412
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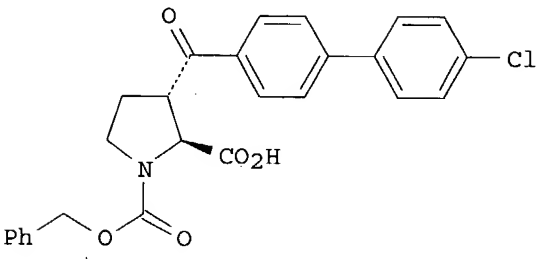


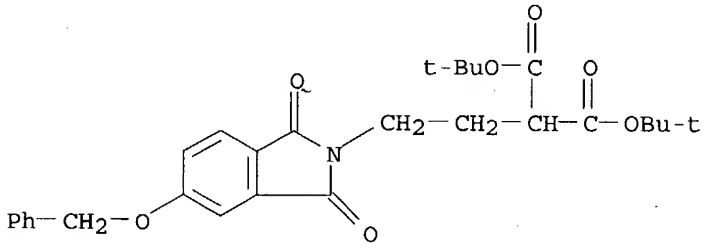
I

AB Matrix metalloprotease (MMP) inhibitors TxA-B-D-E-G [I; T = halo, haloalkyl, alkynyl, (un)substituted alkyl or alkenyl; x = 0, 1, 2; A, B = aromatic or heteroarom. ring; D = CO, CH(OH), CH2, C:NOH, C(S); E = substituted carbon chain; G = PO3H2, CO2H, CO2NH2, 5-tetrazolyl, etc.] and their pharmaceutically acceptable salts were prepared In particular, I [A = C6H4; B = 1,4-C6H4; E = certain substituted THF, tetrahydrothiophene, or pyrrolidine divalent radicals] with MMP inhibitory activity, and their pharmaceutically acceptable salts, are claimed. For instance, claimed title compound II was prepared from L-pyroglutaminol in 9 steps. The

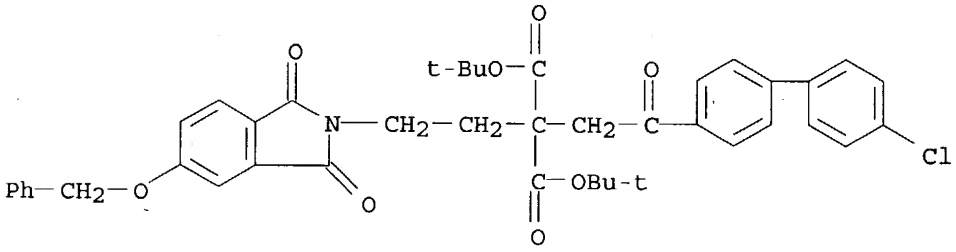
synthesized compds. (444) were assayed for inhibition of MMP-3, MMP-9, and MMP-2. For instance, II had corresponding IC50 values of 103, 381, and 35 nM. I inhibited tumor growth and metastasis in animal models, and inhibited cartilage lesions in a guinea pig model of osteoarthritis.

IT **179549-15-6P 179549-16-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted biarylbutyric or biarylpentanoic acids and derivs. as matrix metalloprotease inhibitors)

RN 179549-15-6 CAPLUS
CN Propanedioic acid, [2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

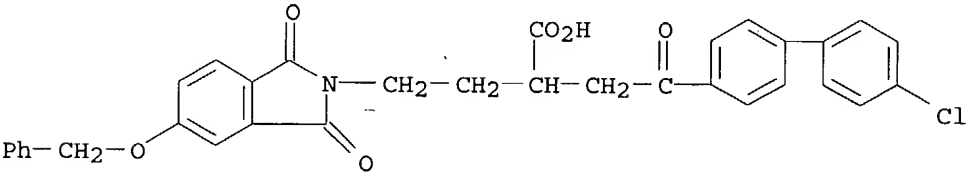


RN 179549-16-7 CAPLUS
CN Propanedioic acid, [2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxoethyl][2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



IT **179547-44-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biarylbutyric or biarylpentanoic acids and derivs. as matrix metalloprotease inhibitors)

RN 179547-44-5 CAPLUS
CN 2H-Isoindole-2-butanoic acid, α-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxoethyl]-1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:476807 CAPLUS
DOCUMENT NUMBER: 125:142275
TITLE: Substituted 4-biarylbutyric or 5-biarylpentanoic acids and derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene; Benz, Guenter Hans Heinz Herbert; Brittelli, David Ross; Bullock, William Harrison; Combs, Kerry Jeanne; Dixon, Brian Richard; Schneider, Stephan; Wood, Jill Elizabeth; Vanzandt, Michael Christopher; et al.
PATENT ASSIGNEE(S): Bayer A.-G., USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615096	A1	19960523	WO 1995-US14002	19951109
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2201863	AA	19960523	CA 1995-2201863	19951109
AU 9641975	A1	19960606	AU 1996-41975	19951109
AU 702317	B2	19990218		
EP 790974	A1	19970827	EP 1995-940572	19951109
EP 790974	B1	20020814		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509686	A	19970930	BR 1995-9686	19951109
CN 1163604	A	19971029	CN 1995-196209	19951109
CN 1121376	B	20030917		
JP 10509146	T2	19980908	JP 1995-516097	19951109
HU 78083	A2	19990830	HU 1998-233	19951109
RU 2159761	C2	20001127	RU 1997-110108	19951109
EE 3435	B1	20010615	EE 1997-210	19951109
PL 183549	B1	20020628	PL 1995-320285	19951109
AT 222230	E	20020815	AT 1995-940572	19951109
PT 790974	T	20021129	PT 1995-940572	19951109
ES 2181803	T3	20030301	ES 1995-940572	19951109
ZA 9509647	A	19970814	ZA 1995-9647	19951114
FI 9702062	A	19970714	FI 1997-2062	19970514
NO 9702220	A	19970714	NO 1997-2220	19970514
US 5874473	A	19990223	US 1997-864666	19970528
US 5886024	A	19990323	US 1997-865325	19970528
US 5854277	A	19981229	US 1997-865639	19970530
US 5859047	A	19990112	US 1997-866798	19970530
US 5861427	A	19990119	US 1997-866679	19970530
US 5861428	A	19990119	US 1997-866680	19970530
US 5886043	A	19990323	US 1997-866778	19970530
PRIORITY APPLN. INFO.:			US 1994-339846 A	19941115

US	1995-462729	B1 19950605
US	1995-463490	B1 19950605
US	1995-463580	B1 19950605
US	1995-463794	B1 19950605
US	1995-464253	B1 19950605
US	1995-465626	B1 19950605
WO	1995-US14002	W 19951109

OTHER SOURCE(S): MARPAT 125:142275

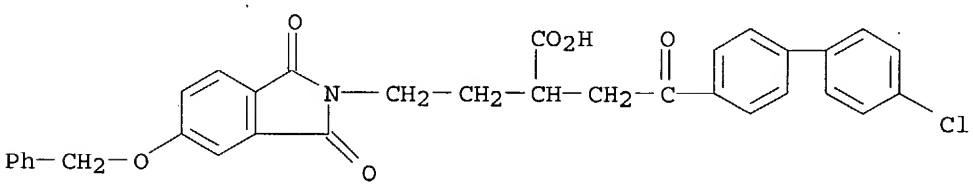
AB Matrix metalloprotease inhibitors TxA-B-D-E-G [Tx = substituent such as halo, C1-C10 alkyl, or cyanoalkenyl; x = 0, 1, 2; A, B = aromatic or heteroarom. ring; D = CO, CH(OH), CH2, C:NOH, C(S); E = substituted carbon chain; G = PO3H2, CO2H, CO2NH2, etc.] and their pharmaceutically acceptable salts were prepared Thus, (S)-γ-oxo-4'-(pentyloxy)-α-(3-phenylpropyl)-[1,1'-biphenyl]-4-butanoic acid (86) was prepared via alkylation of di-Et (3-phenylpropyl)malonate with 2,4'-dibromoacetophenone, followed by saponification-monodecarboxylation, reaction with 4-methoxybenzeneboronic acid, Me ether cleavage, and O-pentylation. The synthesized compds. (444) were assayed for inhibition of MMP-3, MMP-9, and MMP-2. Using compds. such as 86, the number of tumor metastases was decreased between 38 and 49% as compared to the control. The title compds. were also assayed for inhibition of cartilage lesions in a guinea pig model of osteoarthritis.

IT **179547-44-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biarylbutyric or biarylpentanoic acids and derivs. as matrix metalloprotease inhibitors)

RN 179547-44-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid, α-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxoethyl]-1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

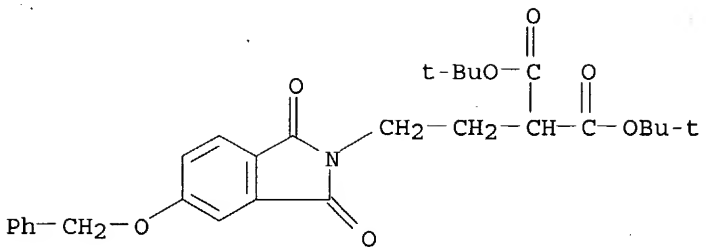


IT **179549-15-6P 179549-16-7P**

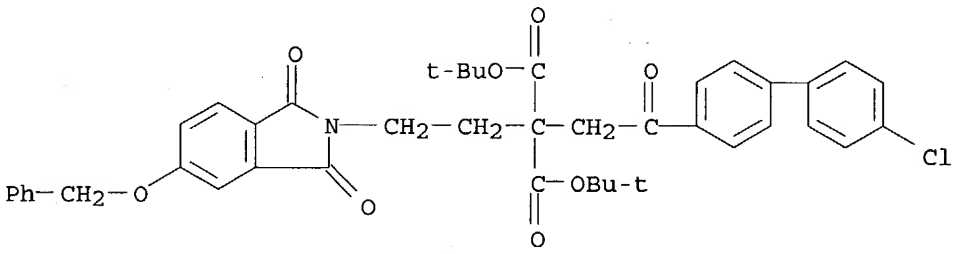
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted biarylbutyric or biarylpentanoic acids and derivs. as matrix metalloprotease inhibitors)

RN 179549-15-6 CAPLUS

CN Propanedioic acid, [2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 179549-16-7 CAPLUS
CN Propanedioic acid, [2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxoethyl][2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:468473 CAPLUS
DOCUMENT NUMBER: 122:240435
TITLE: Preparation of aminobutanoic acid compounds having metalloprotease inhibiting properties
INVENTOR(S): McElroy, Andrew B.; Brown, Peter J.; Drewry, David H.; Salovich, James M.; Schoenen, Frank J.
PATENT ASSIGNEE(S): Glaxo, Inc., USA
SOURCE: U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 905,934, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5326760	A	19940705	US 1993-31439	19930315
WO 9400119	A1	19940106	WO 1993-US6212	19930628
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9346578	A1	19940124	AU 1993-46578	19930628

PRIORITY APPLN. INFO.: US 1992-905934 B2 19920629
US 1993-31439 A 19930315
WO 1993-US6212 A 19930628
OTHER SOURCE(S): MARPAT 122:240435

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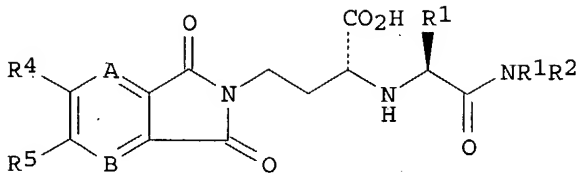


I

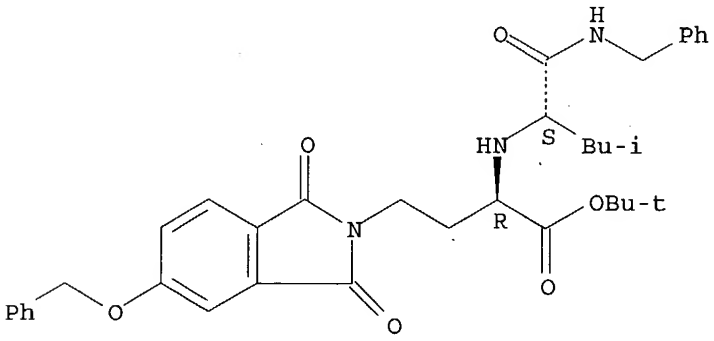
AB Title compds. [I; A, B = N, CR; R = H, halo, alkyl, alkoxy; R1 = alkyl, alkylthioalkyl; R2 = H, alkyl, hydroxyalkyl; R3 = alkyl, alkoxy, alkylamino, (substituted) aryl, arylsulfonyl, etc.; NR2R3 = (substituted) heterocyclyl; R4 = H, OH, alkyl, alkoxy, halo; R5 = H, alkyl, amino, aminoalkyl, acetylamino, (substituted) aryl, arylsulfonylamino, NO2, alkylsulfonylamino, OH, alkoxy, halo, morpholino, piperazinyl, piperidinyl, etc.; R4R5 = atoms to form a (substituted) (aromatic) (heterocyclic) ring], were prepared as metalloprotease inhibitors (no data). Thus, N-[(R)-1-[(1,1-dimethylethoxy)carbonyl]-3-(1,3-dihydro-1,3-dioxo-2H-benz[f]isoindol-2-yl)propyl]leucine (preparation given), 2-morpholin-4-ylethylamine, diisopropylethylamine, hydroxybenzotriazole, and benzotriazolyltetramethyluronium hexafluorophosphate were stirred in DMF at 0-20° to give 4-(1,3-dihydro-1,3-dioxo-2H-benz[f]isoindol-2-yl)-2(R)-[[3-methyl-1-(S)-[[(2-morpholin-4-ylethyl)amino]carbonyl]butyl]amino]butanoic acid 1,1-dimethylethyl ester. This was kept in CF3CO2H/H2O to give 4-(1,3-dihydro-1,3-dioxo-2H-benz[f]isoindol-2-yl)-2(R)-[[3-methyl-1-(S)-[[(2-morpholin-4-ylethyl)amino]carbonyl]butyl]amino]butanoic acid.

IT **158773-19-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of, as intermediate for metalloprotease inhibitor)

RN 158773-19-4 CAPLUS

CN 2H-Isoindole-2-butanoic acid, 1,3-dihydro-α-[[3-methyl-1-[[(phenylmethyl)amino]carbonyl]butyl]amino]-1,3-dioxo-5-(phenylmethoxy)-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

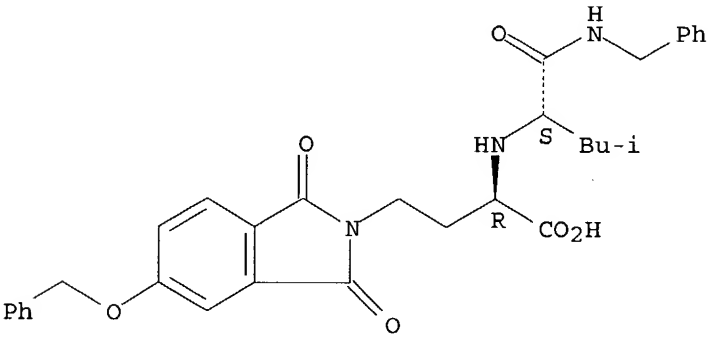


IT **158773-20-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as metalloprotease inhibitor)

RN 158773-20-7 CAPLUS

CN 2H-Isoindole-2-butanoic acid, 1,3-dihydro-α-[[3-methyl-1-[[(phenylmethyl)amino]carbonyl]butyl]amino]-1,3-dioxo-5-(phenylmethoxy)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:681234 CAPLUS
DOCUMENT NUMBER: 121:281234
TITLE: Aminobutanoic acid compounds having metalloprotease inhibiting properties
INVENTOR(S): Mcelroy, Andrew B.; Brown, Peter J.; Drewry, David H.; Salovich, James M.; Schoenen, Frank J.
PATENT ASSIGNEE(S): Glaxo Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400119	A1	19940106	WO 1993-US6212	19930628
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5326760	A	19940705	US 1993-31439	19930315
AU 9346578	A1	19940124	AU 1993-46578	19930628
PRIORITY APPLN. INFO.:			US 1992-905934 A	19920629
			US 1993-31439 A	19930315
			WO 1993-US6212 A	19930628

OTHER SOURCE(S): MARPAT 121:281234
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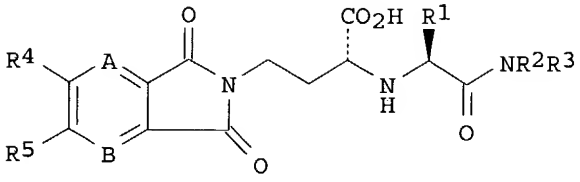


I

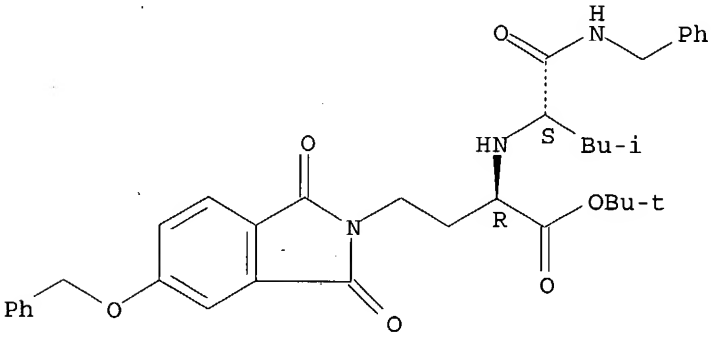
AB Aminobutanoic acids of formula I (R1-R5 = substituents), novel intermediates, a pharmaceutical composition for treating inflammatory diseases, demyelinating diseases, and tumor metastasis, methods for such treatment and processes for preparing compds. of formula I. I are matrix metalloprotease inhibitors and as such are useful in the prevention of conditions which involve tissue breakdown, such as rheumatoid arthritis.

IT **158773-19-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 158773-19-4 CAPLUS

CN 2H-Isoindole-2-butanoic acid, 1,3-dihydro-α-[[3-methyl-1-[[(phenylmethyl)amino]carbonyl]butyl]amino]-1,3-dioxo-5-(phenylmethoxy)-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

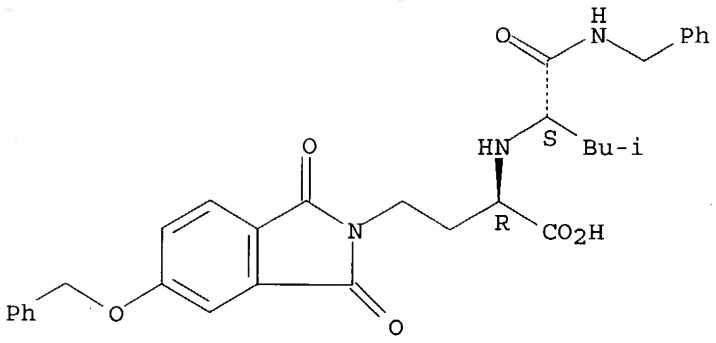


IT **158773-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as matrix metalloprotease inhibitor)

RN 158773-20-7 CAPLUS

CN 2H-Isoindole-2-butanoic acid, 1,3-dihydro-α-[[3-methyl-1-[[(phenylmethyl)amino]carbonyl]butyl]amino]-1,3-dioxo-5-(phenylmethoxy)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

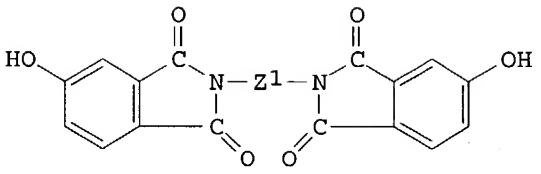


L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:33647 CAPLUS
DOCUMENT NUMBER: 106:33647
TITLE: Bis(hydroxyphthalimide) and preparing polyesterimide by its use
INVENTOR(S): Sasaki, Shigekuni; Hasuda, Yoshinori
PATENT ASSIGNEE(S): Nippon Telegraph and Telephone Public Corp., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 195402	A2	19860924	EP 1986-103616	19860318
EP 195402	A3	19870805		
EP 195402	B1	19920610		
R: DE, FR, GB				
JP 61215369	A2	19860925	JP 1985-55151	19850319
JP 63002952	B4	19880121		
JP 62100531	A2	19870511	JP 1985-238649	19851025
US 4769475	A	19880906	US 1986-839685	19860314
US 4855390	A	19890808	US 1988-185098	19880422

PRIORITY APPLN. INFO.: JP 1985-55151 19850319
JP 1985-238649 19851025
US 1986-839685 19860314

GI



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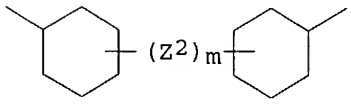

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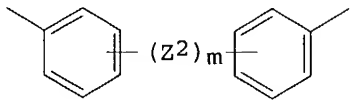


III

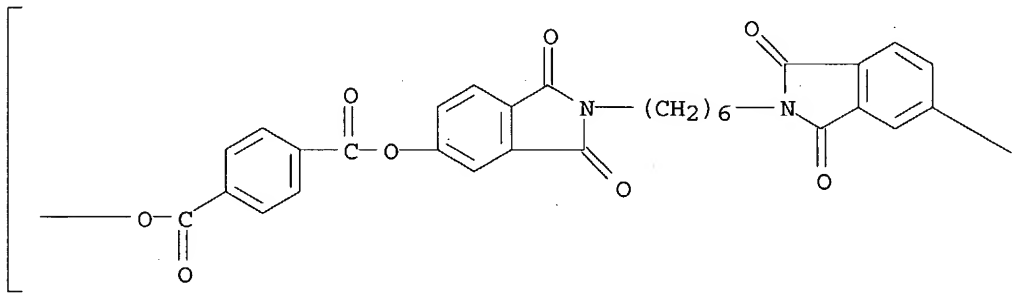
AB Bis(hydroxyphthalimides) I (Z1 = C6-20 arylene, haloarylene, alkylene, II, III; Z2 = O, S, CO, SO2, CnH2n; m = 0, 1; n = 1-5) useful as monomers for imide-containing polymers are prepared by heating diamines with 4-hydroxyphthalic acid or anhydride. Polyesterimides with excellent heat resistance and transparency are prepared by condensation of I with dicarboxylic acid dihalides R1COZ1COR2 (R1, R2 = F, Cl, Br) in organic solvents containing tertiary amines. Thus, p-cresol 50, toluene 30, 4-hydroxyphthalic anhydride 32.8, and m-phenylenediamine 10.8 g were heated at 120-125°, distilling off H2O and toluene, then cooled to precipitate 1,3-bis(4-hydroxyphthalimide)benzene, 5 mmol of which was added to an aqueous solution of 0.4 g NaOH, then stirred with an aqueous solution of 0.29 g Na laurate and 75 mL benzene solution of 1.01 g ClOC-p-C6H4COCl for 1 h to form a polymer with thermal decomposition temperature 410°.

IT **106069-69-6P 106069-74-3P**
RL: PREP (Preparation)
(preparation of, from bis(hydroxyphthalimides), transparent, with high thermal stability)

RN 106069-69-6 CAPLUS

CN Poly[(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)-1,6-hexanediyl(1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl)oxycarbonyl-1,4-phenylenecarbonyloxy] (9CI) (CA INDEX NAME)

PAGE 1-A

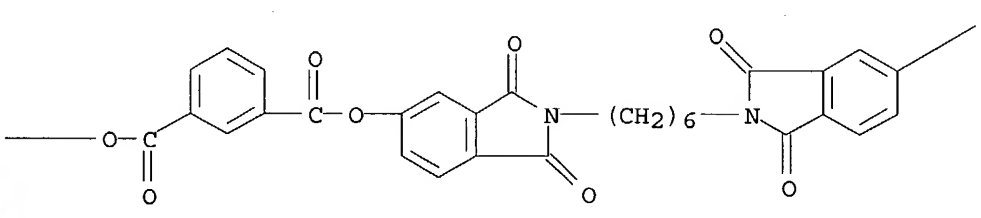


PAGE 1-B

n

RN 106069-74-3 CAPLUS
CN Poly[(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)-1,6-hexanediyl(1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl)oxycarbonyl-1,3-phenylenecarbonyloxy] (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:425546 CAPLUS
DOCUMENT NUMBER: 81:25546
TITLE: Hypoglycemic N-[4-(2-phthalimidoethyl)-phenylsulfonyl]-N'-cyclohexylureas
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2253251	A1	19740509	DE 1972-2253251	19721030

PRIORITY APPLN. INFO.: DE 1972-2253251 19721030

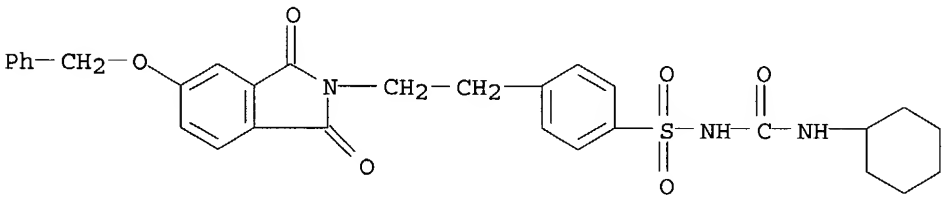
GI For diagram(s), see printed CA Issue.

AB Five ureas I (R1 = Me, Me2CH, Bu, CH2:CHCH2, or PhCH2) were prepared by reaction of the sulfonamides II with cyclohexyl isocyanate in DMF in the presence of Me3COK and used as hypoglycemics in dogs.

IT **52852-83-2P 52852-88-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

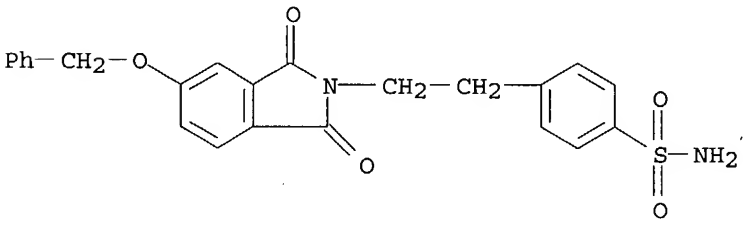
RN 52852-83-2 CAPLUS

CN Benzenesulfonamide, N-[(cyclohexylamino)carbonyl]-4-[2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 52852-88-7 CAPLUS

CN Benzenesulfonamide, 4-[2-[1,3-dihydro-1,3-dioxo-5-(phenylmethoxy)-2H-isoindol-2-yl]ethyl]- (9CI) (CA INDEX NAME)



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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1

DICTIONARY FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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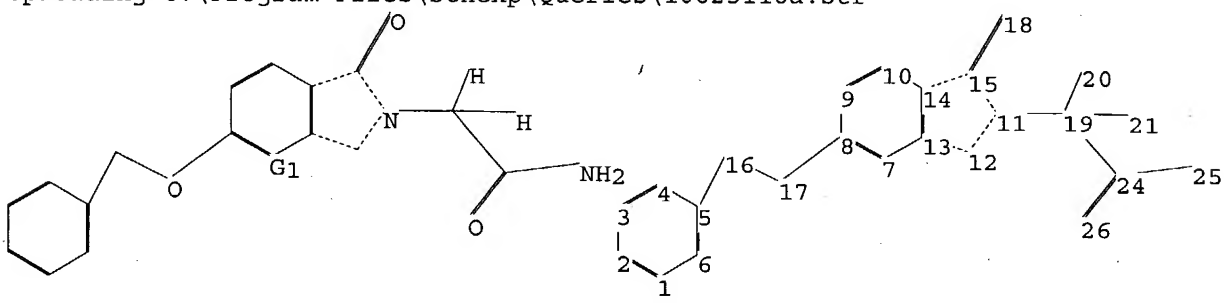

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ring nodes :
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chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13 8-9 9-10 10-14 11-12 11-15 12-13 13-14 14-15
exact/norm bonds :
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normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 24:CLASS 25:CLASS 26:CLASS

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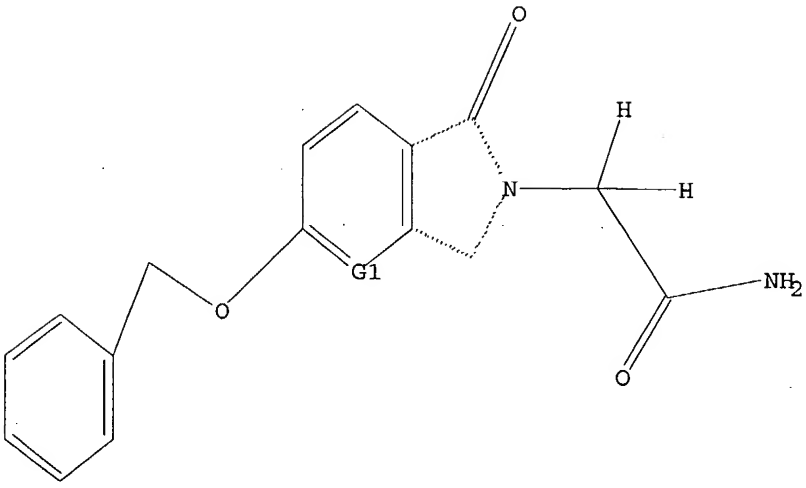


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G1 C,N

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                        BATCH   **COMPLETE**
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PROJECTED ANSWERS:               0 TO        0

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CA SUBSCRIBER PRICE                                0.00      -7.70
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Aug 2004 VOL 141 ISS 10
FILE LAST UPDATED: 29 Aug 2004 (20040829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L11 2 L10

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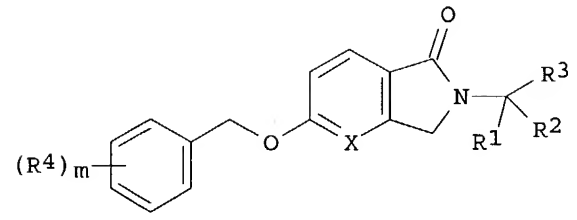
L11 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143104 CAPLUS
DOCUMENT NUMBER: 140:181326
TITLE: Preparation of 2,3-dihydro-isoindol-1-ones as monoamine oxidase MAO-B inhibitors.
INVENTOR(S): Jolidon, Synese; Rodriguez-Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014856	A1	20040219	WO 2003-EP8456	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082603	A1	20040429	US 2003-625116	20030722
PRIORITY APPLN. INFO.:			EP 2002-17676 A	20020807
OTHER SOURCE(S):	MARPAT 140:181326			

GI



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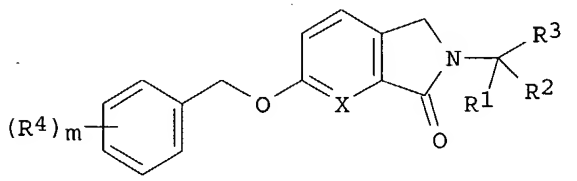
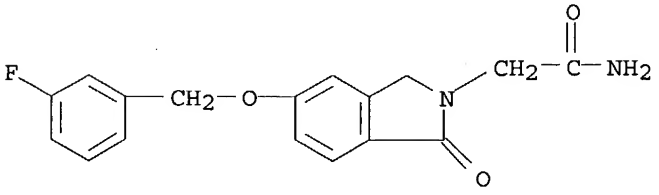


II

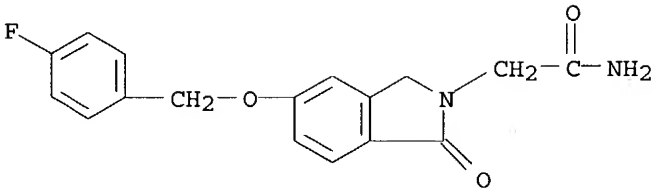
AB Title compds. [I, II; X = N, CH; R1 = (CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R7; (CH2)nCN, (CH2)n-isoindole-1,3-dionyl, (CH2)pOR8; R2 = H, alkyl, OH; R3 = H, alkyl; R4 = halo, haloalkyl, alkoxy, haloalkoxy; R5, R6 = H, alkyl; R7 = alkyl; R8 = H, alkyl; m = 1-3; n = 0-2; p = 1, 2], were prepared Thus, 5-(3-fluorobenzyloxy)-2,3-dihydroisoindol-1-one (preparation given) and NaH were stirred in THF at room temperature for 45 min; 2-bromoacetamide was added and the resulting mixture heated at 50° for 16 h to give 67% 2-[5-(3-fluorobenzyloxy)-1-oxo-1,3-dihydroisoindol-2-yl]acetamide. Title compds. inhibited MAO-B in the range of ≤10 μM.

IT **659737-30-1P 659737-34-5P 659737-35-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)

RN 659737-30-1 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 659737-34-5 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 659737-35-6 CAPLUS
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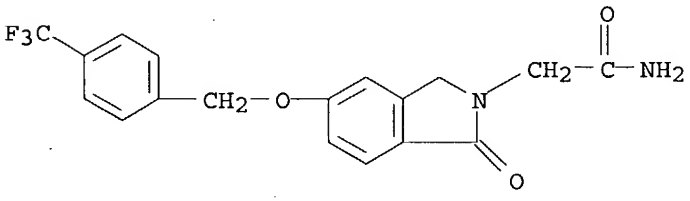
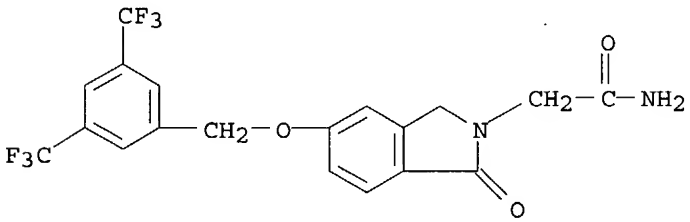


IT **659737-69-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)
RN 659737-69-6 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777757 CAPLUS
DOCUMENT NUMBER: 139:292146
TITLE: Preparation of (benzyloxy)phthalimides as inhibitors of monoamine oxidase B
INVENTOR(S): Cesura, Andrea; Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195208	A1	20031016	US 2003-387950	20030313
US 6660736	B2	20031209		
PRIORITY APPLN. INFO.:			EP 2002-7222 A	20020327
OTHER SOURCE(S):	MARPAT 139:292146			

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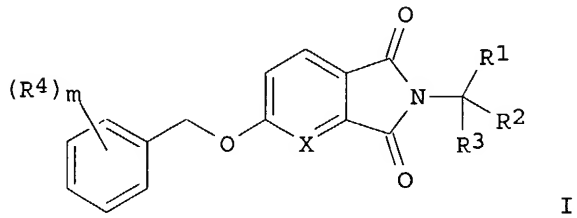

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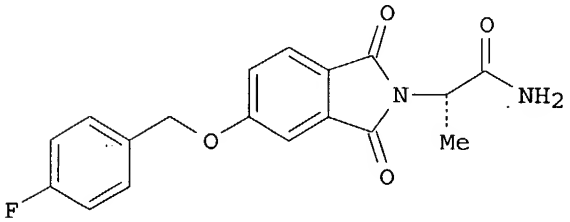


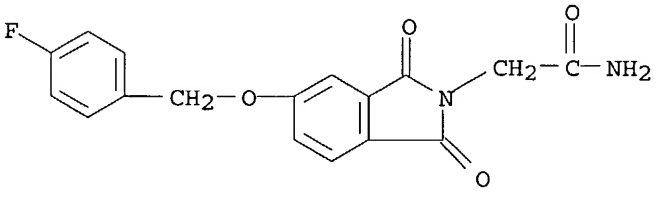
II

AB Title compds. I [wherein X = N or CH; R1 = CONR5R6, CHR7(CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R8, (CH2)nCN, CHR7(CH2)nCF3, (CH2)nNHCOR9, (CH2)nNHCO2R9, (CH2)pOR8, (CH2)pSR8, (CH2)pSOR9, (CH2)nCSNR5R6, or (un)substituted (CH2)n-piperidinyl, (CH2)n-morpholinyl, (CH2)n-tetrahydrofuranyl, (CH2)n-thiophenyl, (CH2)n-isoxazolyl, (CH2)n-Ph; R2 = H, alkyl, (CH2)pOR10, (CH2)pSR10, or CH2Ph; R3, R5, R6, R8, and R10 = independently H or alkyl; R4 = H, haloalkyl, CN, or (halo)alkoxy; R7 = H, OH, or alkoxy; R9 = alkyl; m = 1-3; n = 0-2; p = 1-2; and pharmaceutically acceptable salts thereof] were prepared as highly selective monoamine oxidase B (MAO-B) inhibitors. For example, reaction of 4-hydroxyphthalic acid with 4-fluorobenzyl bromide in the presence of K2CO3 in acetone and H2O gave 4-(4-fluorobenzyloxy)phthalic acid bis(4-fluorobenzyl)ester (80%). Saponification with LiOH•H2O in THF afforded the acid (56%). Cyclocondensation with alaninamide•HCl using carbonyldiimidazole in 1-methyl-2-pyrrolidinone provided the title isoindole II (49%). The

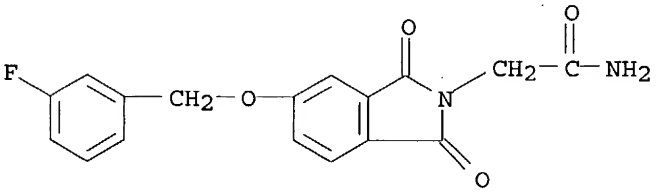
latter preferentially inhibited the enzymic activity of human MAO-B over human MAO-A with IC50 values of 0.008 μM and 0.776 μM, resp. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by MAO-B, such as Alzheimer's disease and senile dementia (no data).

IT **607735-02-4P**, 2-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide **607735-38-6P**, 2-[5-(3-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MAO-B inhibitor; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 607735-02-4 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 607735-38-6 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.04	388.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.40	-9.10

FILE 'REGISTRY' ENTERED AT 09:08:55 ON 30 AUG 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1
DICTIONARY FILE UPDATES: 27 AUG 2004 HIGHEST RN 734530-45-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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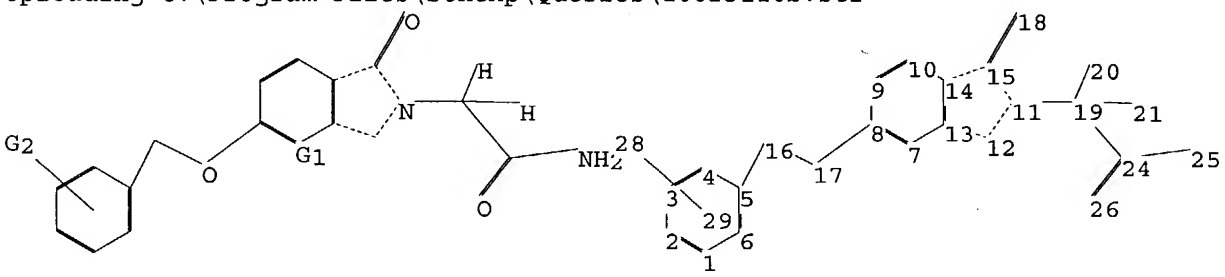

chain nodes :
16 17 18 19 20 21 24 25 26 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
5-16 8-17 11-19 15-18 16-17 19-20 19-21 19-24 24-25 24-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13 8-9 9-10 10-14 11-12 11-15 12-13 13-14 14-15
exact/norm bonds :
5-16 7-8 7-13 8-9 8-17 9-10 10-14 11-12 11-15 11-19 12-13 13-14 14-15 15-18 16-17 19-20 19-21 19-24 24-25 24-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:C,N

G2:X,Ak,MeO

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 24:CLASS 25:CLASS 26:CLASS 28:CLASS 29:CLASS

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L12 HAS NO ANSWERS
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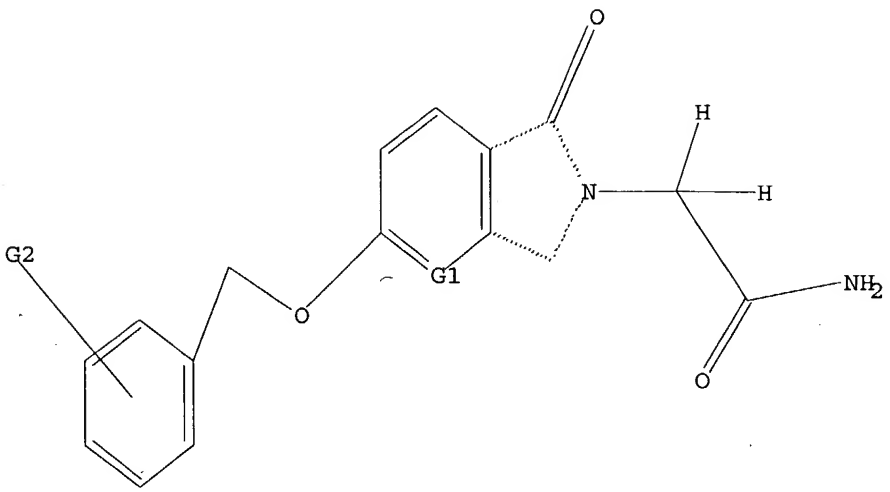

G1 C,N
G2 X,Ak,MeO

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

L13 0 SEA SSS SAM L12

=> s l12 sss full
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FULL SCREEN SEARCH COMPLETED - 180 TO ITERATE

100.0% PROCESSED 180 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

L14 6 SEA SSS FUL L12

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	543.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.10

FILE 'CAPLUS' ENTERED AT 09:09:34 ON 30 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 30 Aug 2004 VOL 141 ISS 10
FILE LAST UPDATED: 29 Aug 2004 (20040829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L15 2 L14

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143104 CAPLUS
DOCUMENT NUMBER: 140:181326
TITLE: Preparation of 2,3-dihydro-isoindol-1-ones as monoamine oxidase MAO-B inhibitors.
INVENTOR(S): Joliđon, Synese; Rodriguez-Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014856	A1	20040219	WO 2003-EP8456	20030731

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UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004082603 A1 20040429 US 2003-625116 20030722
PRIORITY APPLN. INFO.: EP 2002-17676 A 20020807
OTHER SOURCE(S): MARPAT 140:181326
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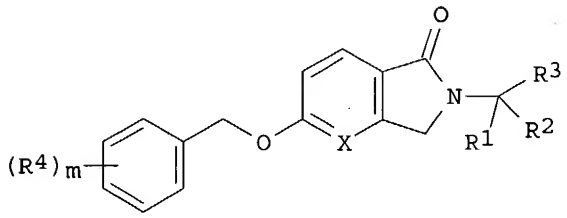

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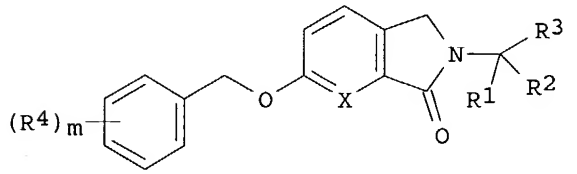
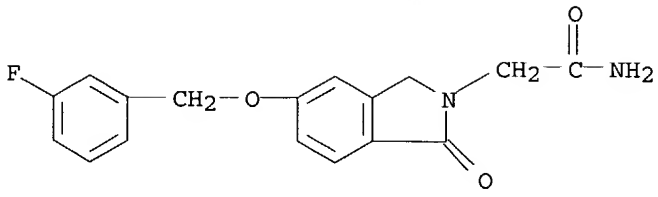


II

AB Title compds. [I, II; X = N, CH; R1 = (CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R7; (CH2)nCN, (CH2)n-isoindole-1,3-dionyl, (CH2)pOR8; R2 = H, alkyl, OH; R3 = H, alkyl; R4 = halo, haloalkyl, alkoxy, haloalkoxy; R5, R6 = H, alkyl; R7 = alkyl; R8 = H, alkyl; m = 1-3; n = 0-2; p = 1, 2], were prepared Thus, 5-(3-fluorobenzyloxy)-2,3-dihydroisoindol-1-one (preparation given) and NaH were stirred in THF at room temperature for 45 min; 2-bromoacetamide was added and the resulting mixture heated at 50° for 16 h to give 67% 2-[5-(3-fluorobenzyloxy)-1-oxo-1,3-dihydroisoindol-2-yl]acetamide. Title compds. inhibited MAO-B in the range of ≤10 μM.

IT **659737-30-1P 659737-34-5P 659737-35-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)

RN 659737-30-1 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



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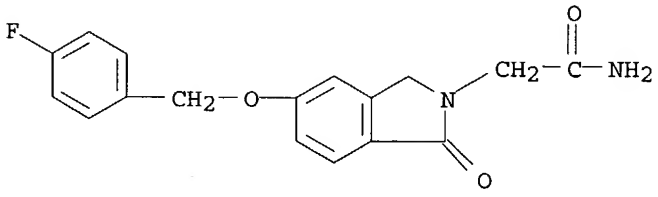

RN 659737-35-6 CAPLUS
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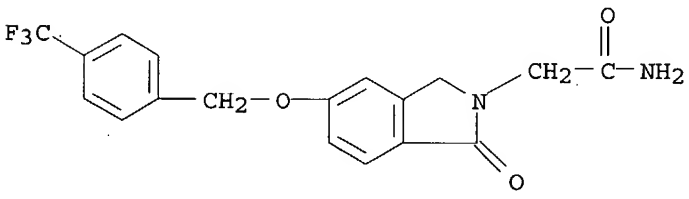
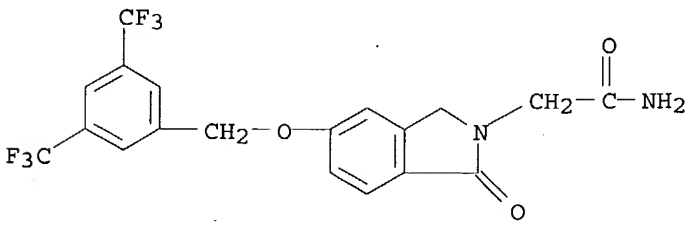


IT **659737-69-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydroisoindolones as monoamine oxidase-B inhibitors)
RN 659737-69-6 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777757 CAPLUS
DOCUMENT NUMBER: 139:292146
TITLE: Preparation of (benzyloxy)phthalimides as inhibitors of monoamine oxidase B
INVENTOR(S): Cesura, Andrea; Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080573	A1	20031002	WO 2003-EP2931	20030320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195208	A1	20031016	US 2003-387950	20030313
US 6660736	B2	20031209		

PRIORITY APPLN. INFO.: EP 2002-7222 A 20020327
OTHER SOURCE(S): MARPAT 139:292146
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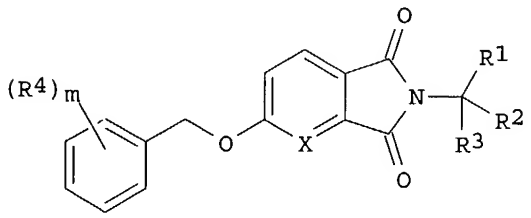

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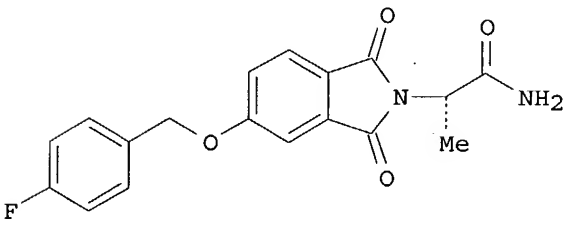


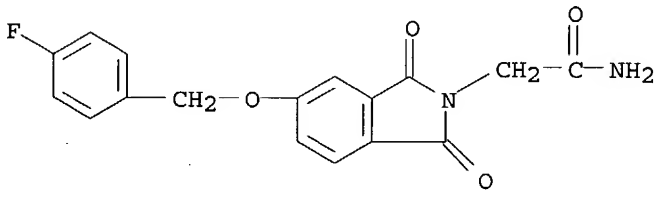
II

AB Title compds. I [wherein X = N or CH; R1 = CONR5R6, CHR7(CH2)nCONR5R6,

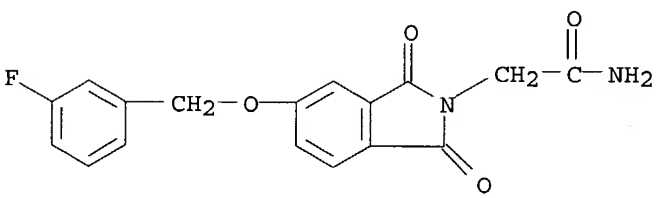
(CH2)nNR5R6, (CH2)nCO2R8, (CH2)nCN, CHR7(CH2)nCF3, (CH2)nNHCOR9, (CH2)nNHCO2R9, (CH2)pOR8, (CH2)pSR8, (CH2)pSOR9, (CH2)nCSNR5R6, or (un)substituted (CH2)n-piperidinyl, (CH2)n-morpholinyl, (CH2)n-tetrahydrofuranyl, (CH2)n-thiophenyl, (CH2)n-isoxazolyl, (CH2)n-Ph; R2 = H, alkyl, (CH2)pOR10, (CH2)pSR10, or CH2Ph; R3, R5, R6, R8, and R10 = independently H or alkyl; R4 = H, haloalkyl, CN, or (halo)alkoxy; R7 = H, OH, or alkoxy; R9 = alkyl; m = 1-3; n = 0-2; p = 1-2; and pharmaceutically acceptable salts thereof] were prepared as highly selective monoamine oxidase B (MAO-B) inhibitors. For example, reaction of 4-hydroxyphthalic acid with 4-fluorobenzyl bromide in the presence of K2CO3 in acetone and H2O gave 4-(4-fluorobenzyloxy)phthalic acid bis(4-fluorobenzyl)ester (80%). Saponification with LiOH•H2O in THF afforded the acid (56%). Cyclocondensation with alaninamide•HCl using carbonyldiimidazole in 1-methyl-2-pyrrolidinone provided the title isoindole II (49%). The latter preferentially inhibited the enzymic activity of human MAO-B over human MAO-A with IC50 values of 0.008 μM and 0.776 μM, resp. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by MAO-B, such as Alzheimer's disease and senile dementia (no data).

IT **607735-02-4P**, 2-[5-(4-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide **607735-38-6P**, 2-[5-(3-Fluorobenzyloxy)-1,3-dioxo-1,3-dihydroisoindol-2-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MAO-B inhibitor; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 607735-02-4 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(4-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 607735-38-6 CAPLUS
CN 2H-Isoindole-2-acetamide, 5-[(3-fluorophenyl)methoxy]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



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